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(54) AMIDINE DERIVATIVE AND DRUG CARRIER CONTAINING THE SAME AS CONSTITUENT (57) Abstract:

PROBLEM TO BE SOLVED: To obtain a new amidine derivative useful as a constituent of drug carrier capable of efficiently and safely carrying out the transfection of nucleic acids, polypeptides, genes and their analogues to cells.

SOLUTION: The compound of the formula [A is an aromatic ring; X is -OCOO- or -(CH2)i-O-; (m) and (n) are each 0 or 1; (i) is 0-6; Y is a steroid], e.g. []-(5-cholesten-3[]-oxy)-4-amidino-toluene hydrochloride, is obtained by condensing a compound of the formula: Z-(CH2)m-A-X [X is -OH or -(CH2)ii-Y (Y is a halogen; Z is a halogen, CN or a protected amidino)] to a steroid and then introducing thiourea into the part of Z when Z is a halogen, transforming Z to an amidino group when Z is CN or deprotecting Z when Z is a protected amidino group.

$$\begin{array}{c} H_2N \\ \longrightarrow \\ +N \end{array} \begin{array}{c} \left(\begin{array}{c} s \end{array} \right)_n \left(\begin{array}{c} CH_2 \end{array} \right)_m A \longrightarrow X \longrightarrow Y$$

LEGAL STATUS

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